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(FILE 'HOME' ENTERED AT 18:59:02 ON 07 MAY 2009)

FILE 'REGISTRY' ENTERED AT 18:59:14 ON 07 MAY 2009

L1 STRUCTURE UPLOADED

L2 10 S L1

L3 720 S L1 FUL

FILE 'CAPLUS' ENTERED AT 18:59:41 ON 07 MAY 2009

L4 57 S L3

L5 43 S L4 AND PY<2004

=> s l5 and respiratory

143933 RESPIRATORY

4 RESPIRATORIES

143936 RESPIRATORY

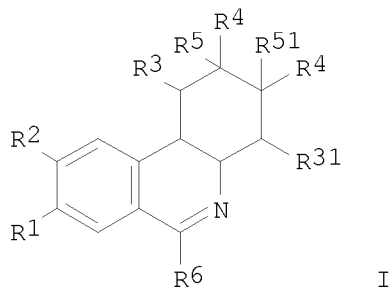
(RESPIRATORY OR RESPIRATORIES)

L6 10 L5 AND RESPIRATORY

=> d abs bib fhitstr 1-10

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

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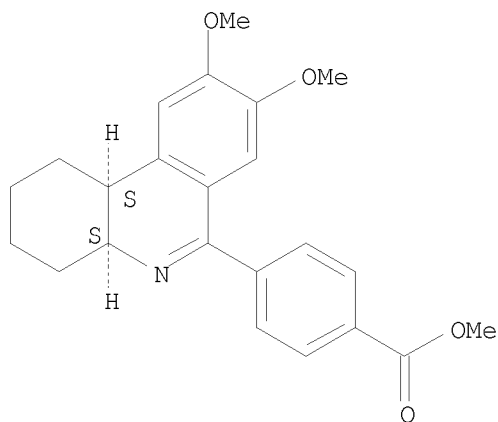
AB The N-oxides of I, [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 = (un)substituted alkoxy, alkylthio, acyl, alkoxymethyl, arylsulfonyl, sulfoxy, pyrrolidinyl, piperidinyl, etc.] were prepared as bronchial therapeutics. For example, (+)-cis-8,9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1,2,3,4,4a,10b-hexahydrophenanthridine N-oxide (II) was prepared in a multistep process by cyclocondensation of (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-4-methoxycarbonylbenzamide (preparation given) using POCl3 in MeCN followed by oxidation. In an assay against phosphodiesterase IV (PDE4), II showed inhibitory activity with -log IC50 value of 6.91. As PDE4 inhibitors, the

N-oxides of I are useful in the treatment of airway disorders.

AN 2002:72054 CAPLUS  
 DN 136:118394  
 TI Phenanthridine N-oxides  
 IN Gutterer, Beate; Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard;  
 Hatzelmann, Armin; Kley, Hans-Peter  
 PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany  
 SO PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

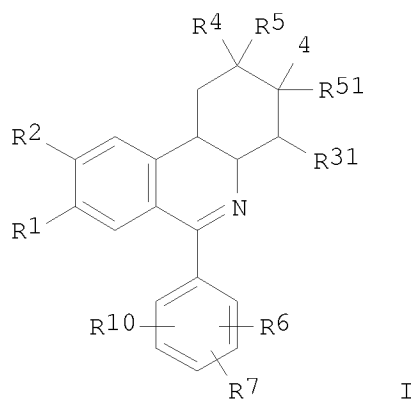
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PI	WO 2002006239	A1	20020124	WO 2001-EP7821	20010707 <--
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PRAI	EP 2000-115276	A	20000714		
OS	MARPAT 136:118394				
IT	194735-23-4P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reactant for preparation of phenanthridine N-oxides for treatment of airway disorders)				
RN	194735-23-4 CAPLUS				
CN	Benzoic acid, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-, methyl ester (CA INDEX NAME)				

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
 GI



AB Title compds. [I; R1, R2 = OH, cycloalkoxy, cycloalkylmethoxy, (fluoro)alkoxy; R1R2 = alkylenedioxy; R3, R4, R31 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; R6 = cycloalkyl(methyl); R7 = H, OH, halo, cyano, NO2, amino, (cyclo)alkyl(methyl), CF3, (fluoro)alkoxy, Ph(alkyl), OR8, SR9, COR10, CH2R11, SO2Ar, OSO2R12, CO2R14, CONR15R16, NR17R18, (2-oxo)pyrrolidin-1-yl, 2,5-dioxopyrrolidin-1-yl, 1-piperidinyl, 2-oxopiperidin-1-yl, 2,6-dioxopiperidin-1-yl, SO2R19, SO2NR15R16; R8 = cycloalkyl(methyl), alkoxyalkyl, Ar, phenylalkyl; R9 = H, alkyl(carbonyl), arylcarbonyl, CF3, CHF2, CC13, Ph; R10 = (cyclo)alkyl, cycloalkylmethyl, 1-pyrrolidinyl, 1-piperidinyl, (4-methyl)piperazinyl, 4-morpholinyl, Ar; R11 = OH, halo, cyano, CO2H, PhO, alkoxy(carbonyl), aminocarbonyl, NR15R16, alkylcarbonylamino; R12 = alkyl, amino, Ar; Ar = pyridyl, (R13-substituted) Ph; R13 = H, OH, halo, CO2H, NO2, amino, cyano, alkyl, CF3, alkoxy(carbonyl), alkylcarbonylamino, alkylcarbonyloxy, aminocarbonyl; R14, R15 = H, alkyl, cycloalkyl, cycloalkylmethyl; R16 = R14, Ar; R15R16N = 1-pyrrolidinyl, 1-piperidinyl, (4-methyl)piperazin-1-yl, 1-hexahydroazepinyl, 4-morpholinyl; R17 = H, alkyl, SO2R19, SO2Ar; R18 = alkyl(carbonyl), cycloalkyl(methyl)carbonyl, SO2R19, SO2Ar; R19 = alkyl; R20 = H, OH, halo, NO2, amino, (cyclo)alkyl(methyl), CF3, (fluoro)alkoxy, cycloalkoxy, cycloalkylmethoxy, CH2R10, CO2H, alkoxy carbonyl, alkylcarbonyloxy, alkylcarbonylamino, aminocarbonyl], were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-4-cyclohexylbenzamide (preparation given) was stirred with POCl3 at 80° for 5 h. to give (-)-cis-6-(4-cyclohexylphenyl)-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridine. The latter inhibited PDE4 with -log IC50 = 8.22 M.

AN 2002:72053 CAPLUS

DN 136:118388

TI Preparation of 6-(cycloalkylphenyl)hexahydrophenanthridines as PDE4 inhibitors for treatment of airway disorders.

IN Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

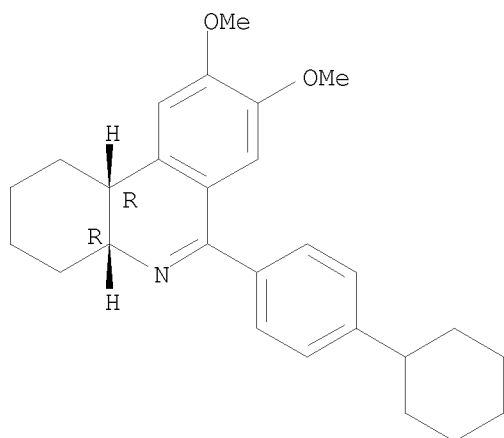
SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

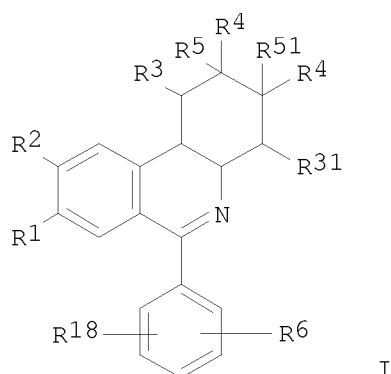
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006238	A1	20020124	WO 2001-EP7817	20010707 <--
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EC, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRAI	EP 2000-115277	A	20000714		
OS	MARPAT 136:118388				
IT	391247-56-6P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 6-(cycloalkylphenyl)hexahydrophenanthridines as PDE4 inhibitors for treatment of airway disorders)				
RN	391247-56-6	CAPLUS			
CN	Phenanthridine, 6-(4-cyclohexylphenyl)-1,2,3,4,4a,10b-hexahydro-8,9- dimethoxy-, (4aR,10bR)- (CA INDEX NAME)				

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
 GI



AB Compds. I, [which R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 is aminosulfonyl, carboxylic ester, carboxamide or a substituted tetrazol-5-yl radical, R18 is hydroxyl, halogen, nitro, amino, 1-4C-alkyl or 1-4C-alkoxy] or the salts, the N-oxide and the salts of the N-oxide of this compound were prepared as active PDE4 inhibitors. For example, cyclocondensation of (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3-methoxycarbonyl-5-nitrobenzamide (preparation given) using POC13 in CH3CN gave (-)-cis-8,9-dimethoxy-6-[(3-methoxycarbonyl)-5-nitrophenyl]-1,2,3,4,4a,10b-hexahydrophenanthridine (II). In an assay against phosphodiesterase IV (PDE4), II showed inhibitory activity with -log IC50 value of 8.14. As PDE4 inhibitors, I are useful in the treatment of airway disorders.

AN 2002:71777 CAPLUS

DN 136:118398

TI Novel 6-phenylphenanthridines

IN Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002005616	A1	20020124	WO 2001-EP7829	20010707 <--
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2001081965	A	20020130	AU 2001-81965	20010707 <--
PRAI	EP 2000-115278	A	20000714		
	WO 2001-EP7829	W	20010707		
OS	MARPAT 136:118398				
IT	391671-72-0P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES				

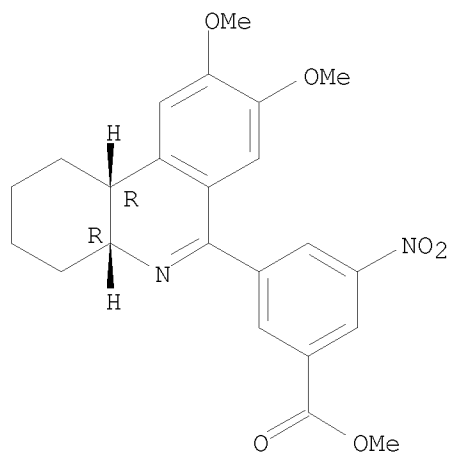
(Uses)

(preparation in treatment of airway disorders)

RN 391671-72-0 CAPLUS

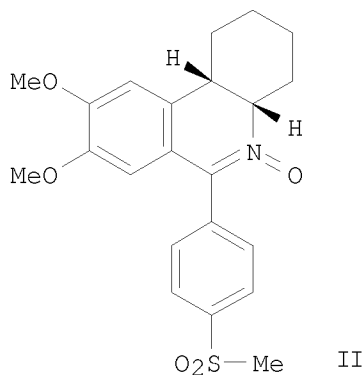
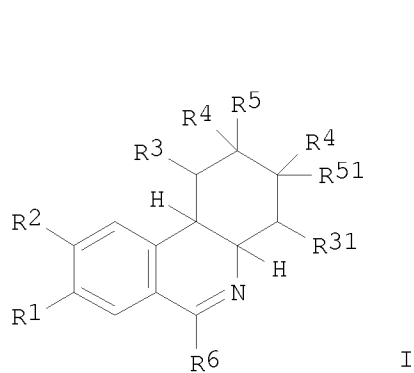
CN Benzoic acid, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-5-nitro-, methyl ester, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
GI



AB Title compds., N-oxides of (I) [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 together form an alkylenedioxy group; R3 and R31 = independently H or alkyl; or R3 and R31 together form an alkylene group; R4 = H or alkyl; R5 and R51 = H; or R5 and R51 together form an addnl. bond; R6 = substituted

Ph], were prepared as phosphodiesterase (PDE) IV inhibitors for use as bronchial therapeutics. For example, 3,4-(MeO)2C6H3CHO was condensed with MeNO2 and the nitrostyrene product cyclocondensed with CH2:CHCH:CH2 to give, in 3 addnl. steps, (-)-cis-1,2-dimethoxy-4-(2-aminocyclohexyl)benzene. N-acylation with 4-MeSO2C6H4COCl, cyclization to the hexahydrophenanthridine using POCl3, and N-oxidation with 3-ClC6H4CO3H in CH2Cl2 afforded (-)-cis-II, which inhibited PDE IV with -log IC50 of 6.09.

AN 2001:526060 CAPLUS

DN 135:107257

TI Preparation of arylphenanthridine N-oxides as PDE IV inhibitors

IN Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-Peter; Gutterer, Beate

PA BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

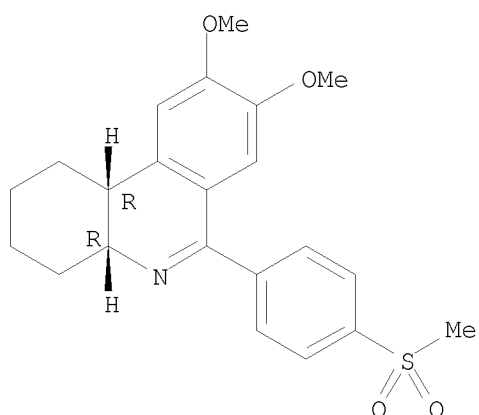
DT Patent

LA English

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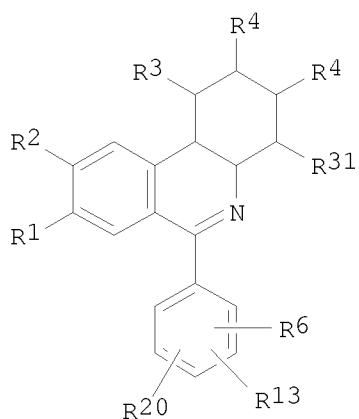
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PI	WO 2001051470	A1	20010719	WO 2001-EP223	20010110 <--
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2396026	A1	20010719	CA 2001-2396026	20010110 <--
	AU 2001037283	A	20010724	AU 2001-37283	20010110 <--
	AU 782908	B2	20050908		
	EP 1250325	A1	20021023	EP 2001-909597	20010110 <--
	EP 1250325	B1	20080507		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003519686	T	20030624	JP 2001-551852	20010110 <--
	AT 394378	T	20080515	AT 2001-909597	20010110
	US 20030105123	A1	20030605	US 2002-149965	20020618 <--
	US 6630483	B2	20031007		
PRAI	EP 2000-100482	A	20000111		
	WO 2001-EP223	W	20010110		
OS	MARPAT 135:107257				
IT	350496-50-3P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(intermediate; preparation of arylphenanthridine N-oxide PDE IV inhibitors by cyclocondensation of nitrostyrenes with butadienes, N-acylation, cyclization, and N-oxidation)				
RN	350496-50-3 CAPLUS				
CN	Phenanthridine, 1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-[4-(methylsulfonyl)phenyl]-, (4aR,10bR)-rel-(-)- (CA INDEX NAME)				

Rotation (-). Absolute stereochemistry unknown.

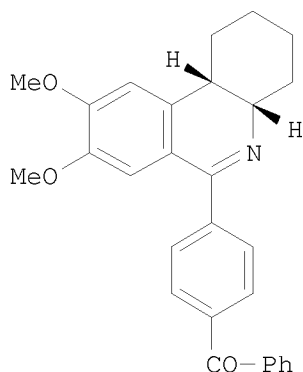


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
GI



I



II

AB The title compds. (I) [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 = (un)substituted alkoxy, alkylthio, acyl, alkoxymethyl, arylsulfonyl, sulfoxy, pyrrolidinyl, piperidinyl, etc.; R13 = H, OH, halo, CN, NO2, NH2, alkyl, CF3, alkoxy, F-substituted alkoxy or Ph(alkyl), carboxy, carbamoyl, alkylsulfonyl, sulfamoyl, etc.; R20 = H, OH, halo, NO2, NH2, alkyl, CF3, alkoxy, F-substituted (cyclo)alkoxy, cycloalkylmethoxy, alkoxymethyl, carboxy, alkoxycarbonyl(oxy), (alkyl)carbamoyl, etc.] were prepared as bronchial therapeutics. For example, cyclocondensation of (-)-cis-N-[2-(3,4-



dimethoxyphenyl)cyclohexyl]-4-benzoylbenzamide (preparation given) using P(O)Cl<sub>3</sub> in CH<sub>3</sub>CN gave (-)-cis-II. In an assay against phosphodiesterase IV (PDE4), I showed inhibitory activity with -log IC<sub>50</sub> values ranging from 7.16 to 8.87. As PDE4 inhibitors, I are useful in the treatment of airway disorders, erectile dysfunction, and inflammatory disorders. I are distinguished by low toxicity, good enteral absorption (high bioavailability), a large therapeutic breadth, and the absence of significant side-effects (no data).

AN 2000:493524 CAPLUS

DN 133:120245

TI Preparation of 6-phenylphenanthridines with PDE-IV inhibiting activity

IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

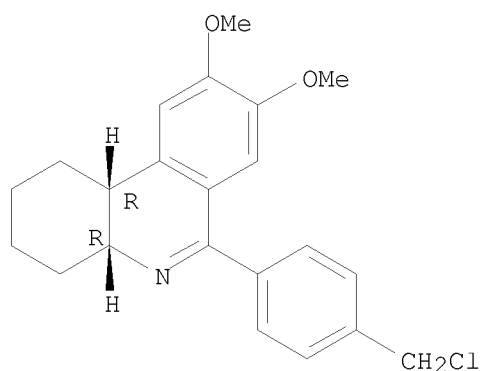
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042020	A1	20000720	WO 2000-EP172	20000112 <--
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	CA 2359440	C	20090414		
	EP 1147089	A1	20011024	EP 2000-901534	20000112 <--
	EP 1147089	B1	20051207		
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	TR 200101938	T2	20011221	TR 2001-1938	20000112 <--
	HU 2001005001	A2	20020429	HU 2001-5001	20000112 <--
	HU 2001005001	A3	20021028		
	EE 200100350	A	20021015	EE 2001-350	20000112 <--
	EE 5105	B1	20081215		
	NZ 512872	A	20030725	NZ 2000-512872	20000112 <--
	CN 1152864	C	20040609	CN 2000-802795	20000112
	AU 774868	B2	20040708	AU 2000-22896	20000112
	TR 200501553	T2	20050621	TR 2005-1553	20000112
	AT 312081	T	20051215	AT 2000-901534	20000112
	EP 1650193	A2	20060426	EP 2005-108689	20000112
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	IL 144026	A	20070515	IL 2000-144026	20000112
	BG 105561	A	20011231	BG 2001-105561	20010604 <--
	BG 65126	B1	20070330		
	ZA 2001004864	A	20030415	ZA 2001-4864	20010614 <--
	NO 320182	B1	20051107	NO 2001-3341	20010705
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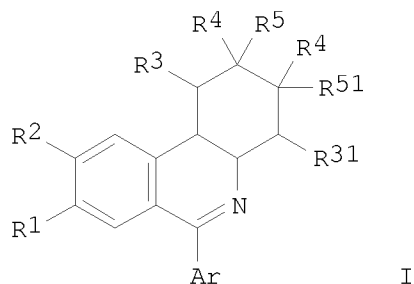
	US 6476025	B1	20021105	US 2001-889144	20010712 <--
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	HK 1040997	A1	20060714	HK 2002-102714	20020410
PRAI	EP 1999-100694	A	19990115		
	EP 2000-901534	A3	20000112		
	WO 2000-EP172	W	20000112		
OS	MARPAT 133:120245				
IT	284675-46-3P, (-)-cis-6-(4-Chloromethylphenyl)-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridine				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(preparation of)				
RN	284675-46-3 CAPLUS				
CN	Phenanthridine, 6-[4-(chloromethyl)phenyl]-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-, (4aR,10bR)-rel-(-)- (CA INDEX NAME)				

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
GI



AB Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; Ar = specified (substituted) bi- or tricyclic], were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3,4-methylenedioxybenzamide (preparation given) was heated with POCl<sub>3</sub> in MeCN at 80° for 3 h to give (-)-cis-6-benzo[1,3]dioxol-5-yl-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridine. This inhibited PDE4 with -log IC<sub>50</sub> = 7.28.

AN 2000:493523 CAPLUS

DN 133:104972

TI Preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors.

IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Goebel, Karl-Josef; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

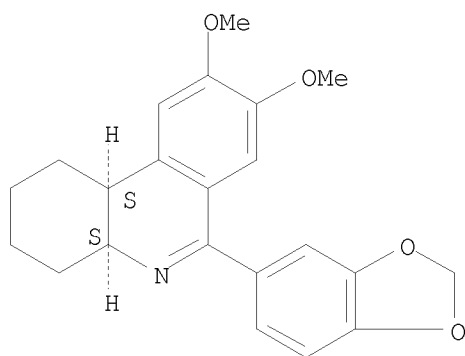
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042019	A1	20000720	WO 2000-EP152	20000112 <--
	W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2359416	A1	20000720	CA 2000-2359416	20000112 <--
	EP 1147088	A1	20011024	EP 2000-901530	20000112 <--
	EP 1147088	B1	20060104		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	JP 2002534507	T	20021015	JP 2000-593587	20000112 <--
	AT 315029	T	20060215	AT 2000-901530	20000112
	ES 2255483	T3	20060701	ES 2000-901530	20000112
	US 6479505	B1	20021112	US 2001-889143	20010712 <--
PRAI	EP 1999-100705	A	19990115		
	WO 2000-EP152	W	20000112		
OS	MARPAT 133:104972				
IT	283605-12-9P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors)

RN 283605-12-9 CAPLUS

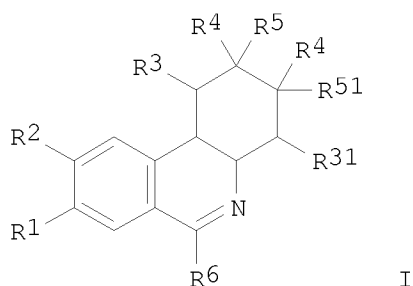
CN Phenanthridine, 6-(1,3-benzodioxol-5-yl)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-, (4aS,10bS)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
GI



AB Title compds. (I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = double bond; R6 = substituted Ph), were prepared. Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3,4-dinitrobenzamide (preparation given) was stirred in MeCN or PhMe at 80° with POCl3 to give (-)-cis-8,9-dimethoxy-6-(3,4-dinitrophenyl)-1,2,3,4,4a,10b-hexahydrophenanthridine. The latter inhibited PDE4 with -log IC50 = 7.26.

AN 2000:493522 CAPLUS

DN 133:104971

TI Preparation of polysubstituted 6-phenylphenanthridines as phosphodiesterase IV inhibitors.

IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

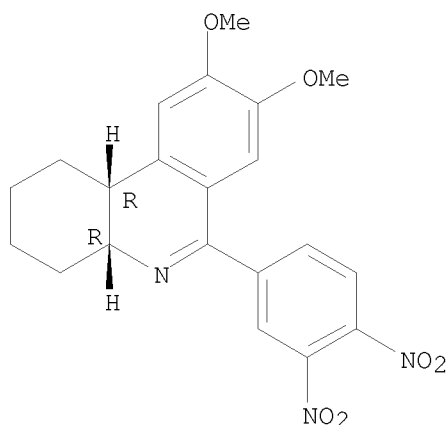
DT Patent

LA English

FAN.CNT 1

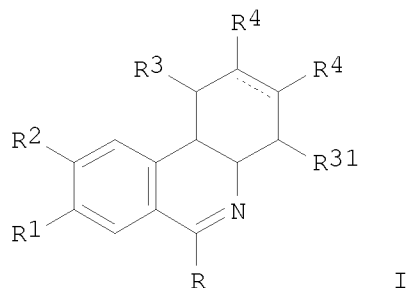
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042018	A1	20000720	WO 2000-EP151	20000112 <--
	W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2360386	A1	20000720	CA 2000-2360386	20000112 <--
	EP 1163226	A1	20011219	EP 2000-907464	20000112 <--
	EP 1163226	B1	20070314		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	AT 356810	T	20070415	AT 2000-907464	20000112
	US 6534518	B1	20030318	US 2001-889145	20010712 <--
PRAI	EP 1999-100696	A	19990115		
	WO 2000-EP151	W	20000112		
OS	MARPAT 133:104971				
IT	284020-40-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of polysubstituted 6-phenylphenanthridines as phosphodiesterase IV inhibitors)				
RN	284020-40-2	CAPLUS			
CN	Phenanthridine, 6-(3,4-dinitrophenyl)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-, (4aR,10bR)-rel-(-)- (CA INDEX NAME)				

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
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AB Title compds. [I; R = C<sub>6</sub>H<sub>4</sub>R<sub>6</sub>; R<sub>1</sub>, R<sub>2</sub> = OH, (fluoro)alkoxy, cycloalkyl(methoxy); R<sub>1</sub>R<sub>2</sub> = OCH<sub>2</sub>O or OCH<sub>2</sub>CH<sub>2</sub>O; R<sub>3</sub>, R<sub>4</sub>, R<sub>31</sub> = H or alkyl; R<sub>3</sub>R<sub>31</sub> = alkylene; R<sub>6</sub> = CO<sub>2</sub>NR<sub>7</sub>R<sub>8</sub> or CONR<sub>9</sub>R<sub>10</sub>; R<sub>7</sub> = H, (cyclo)alkyl, (un)substituted Ph, etc.; R<sub>8</sub> = (cyclo)alkyl, (un)substituted Ph, etc.; R<sub>9</sub> = H or alkyl; R<sub>10</sub> = (un)substituted pyridyl or -Ph; dashed line = optional addnl. bond] were prepared. Thus, 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CHO was condensed with MeNO<sub>2</sub> and the nitrostyrene product cyclocondensed with CH<sub>2</sub>:CHCH:CH<sub>2</sub> to give, in 4 addnl. steps, (-)-cis-2-(3,4-dimethoxyphenyl)cyclohexanamine which was N-acylated by 4-(MeO)C<sub>6</sub>H<sub>4</sub>NHCOC<sub>6</sub>H<sub>4</sub>(COCl)-3 to give (-)-cis-I [R = C<sub>6</sub>H<sub>4</sub>[CONHC<sub>6</sub>H<sub>4</sub>(OMe)-4]-3, R<sub>1</sub> = R<sub>2</sub> = OMe, R<sub>3</sub> = R<sub>4</sub> = R<sub>31</sub> = H] as the N-oxide (II). Data for biol. activity of II were given.

AN 2000:493521 CAPLUS

DN 133:120241

TI Preparation of phenanthridine N-oxides as PDE-IV inhibitors

IN Flockerzi, Dieter; Amschler, Hermann; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

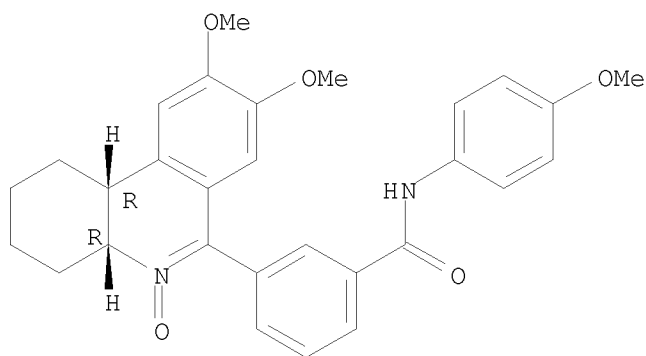
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042017	A1	20000720	WO 2000-EP150	20000112 <--
	W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2359404	A1	20000720	CA 2000-2359404	20000112 <--
	AU 2000021077	A	20000801	AU 2000-21077	20000112 <--
	EP 1147087	A1	20011024	EP 2000-901089	20000112 <--
	EP 1147087	B1	20050511		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002534505	T	20021015	JP 2000-593585	20000112 <--
	AT 295352	T	20050515	AT 2000-901089	20000112
	ES 2242594	T3	20051116	ES 2000-901089	20000112
	US 20020183350	A1	20021205	US 2001-889142	20010712 <--
	US 6538005	B2	20030325		

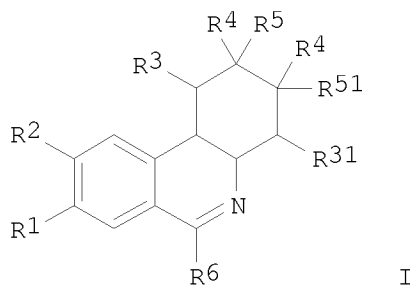
PRAI EP 1999-100707 A 19990115  
 WO 2000-EP150 W 20000112  
 OS MARPAT 133:120241  
 IT 284465-36-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenanthridine N-oxides as PDE-IV inhibitors)  
 RN 284465-36-7 CAPLUS  
 CN Benzamide, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-5-oxido-6-phenanthridinyl]-N-(4-methoxyphenyl)-, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
 GI



AB Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R4, R31 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; R6 = (substituted) tetrazolylphenyl], were prepared as phosphodiesterase IV inhibitors (no data). Thus, cis-9-ethoxy-8-methoxy-6-(4-cyanophenyl)-1,2,3,4,4a,10b-

hexahydrophenanthridine (preparation given) was heated with NH<sub>4</sub>Cl and NaN<sub>3</sub> in DMF at 120° for 24 h to give  
 cis-9-ethoxy-8-methoxy-6-[4-(2H-tetrazol-5-yl)phenyl]-1,2,3,4,4a,10b-hexahydrophenanthridine.

AN 1999:96217 CAPLUS

DN 130:139348

TI Preparation of tetrazolylphenylhexahydrophenanthridines for treatment of airway disorders.

IN Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas; Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

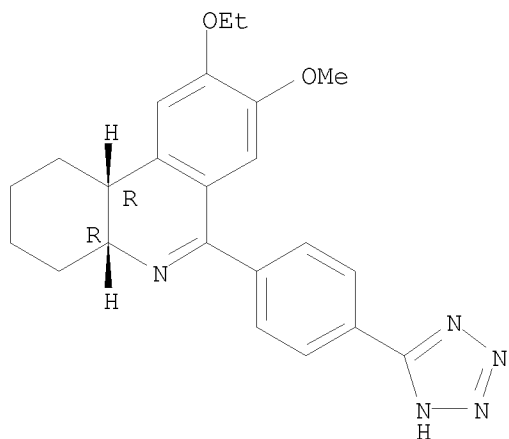
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9905111	A1	19990204	WO 1998-EP4477	19980718 <--
	W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2297923	A1	19990204	CA 1998-2297923	19980718 <--
	AU 9889770	A	19990216	AU 1998-89770	19980718 <--
	AU 753615	B2	20021024		
	EP 998460	A1	20000510	EP 1998-941361	19980718 <--
	EP 998460	B1	20040303		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200000033	A	20001016	EE 2000-33	19980718 <--
	EE 4054	B1	20030616		
	HU 2000002510	A2	20001228	HU 2000-2510	19980718 <--
	HU 2000002510	A3	20021028		
	JP 2001510825	T	20010807	JP 2000-504110	19980718 <--
	AT 260899	T	20040315	AT 1998-941361	19980718
	CZ 293725	B6	20040714	CZ 2000-282	19980718
	ES 2217575	T3	20041101	ES 1998-941361	19980718
	IL 133824	A	20051218	IL 1998-133824	19980718
	PL 190685	B1	20051230	PL 1998-338339	19980718
	US 6410551	B1	20020625	US 1999-446703	19991223 <--
PRAI	EP 1997-112792	A	19970725		
	WO 1998-EP4477	W	19980718		
OS	MARPAT 130:139348				
IT	220063-36-5P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of tetrazolylphenylhexahydrophenanthridines for treatment of airway disorders)				
RN	220063-36-5 CAPLUS				
CN	Phenanthridine, 9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-[4-(2H-tetrazol-5-yl)phenyl]-, (4aR,10bR)-rel- (CA INDEX NAME)				

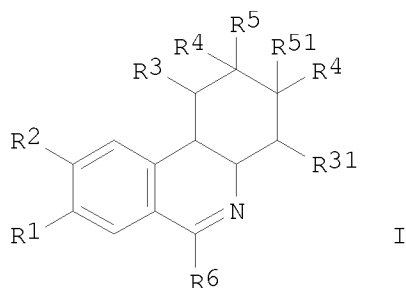


Relative stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
GI



AB Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylendioxy; R3, R31 = H, alkyl; R3R31 = alkylene; R4 = H, alkyl; R5, R51 = H; R5R51 = bond; R6 = (modified) carboxyphenyl], were prepared for treatment of airway diseases. Thus, cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-4-methoxycarbonylbenzamide (preparation given) was stirred 8 h at 50° with POCl3 in MeCN to give 38.6% cis-8,9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1,2,3,4,4a,10b-hexahydrophenanthridine. The latter inhibited phosphodiesterase IV with -log IC50 = 7.39.

AN 1997:533622 CAPLUS

DN 127:205483

OREF 127:39947a,39950a

TI Preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase IV inhibitors.

IN Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas;

Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-Peter; Goebel, Karl-Josef; Gutterer, Beate

PA BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany; Gutterer, Beate

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

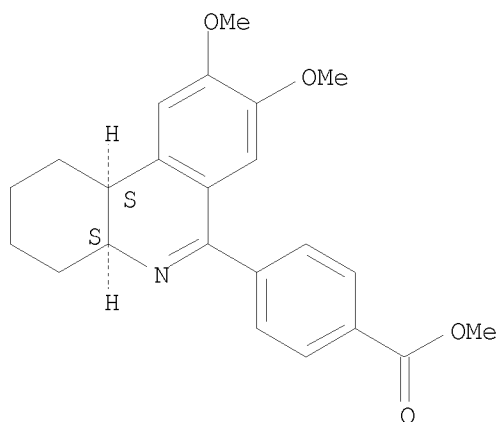
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728131	A1	19970807	WO 1997-EP402	19970130 <--
	W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HU, IL, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19603321	A1	19970807	DE 1996-19603321	19960131 <--
	CA 2245142	A1	19970807	CA 1997-2245142	19970130 <--
	CA 2245142	C	20041130		
	AU 9717199	A	19970822	AU 1997-17199	19970130 <--
	AU 707058	B2	19990701		
	EP 882021	A1	19981209	EP 1997-904354	19970130 <--
	EP 882021	B1	20030305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1214681	A	19990421	CN 1997-193458	19970130 <--
	CN 1142914	C	20040324		
	HU 9900666	A2	19990628	HU 1999-666	19970130 <--
	HU 9900666	A3	20000428		
	HU 221380	B1	20020928		
	BR 9707233	A	19990720	BR 1997-7233	19970130 <--
	NZ 331374	A	20000128	NZ 1997-331374	19970130 <--
	JP 2000503996	T	20000404	JP 1997-527140	19970130 <--
	JP 4138003	B2	20080820		
	SK 282084	B6	20011008	SK 1998-1024	19970130 <--
	EE 3523	B1	20011015	EE 1998-223	19970130 <--
	CZ 289340	B6	20020116	CZ 1998-2414	19970130 <--
	IL 125286	A	20020814	IL 1997-125286	19970130 <--
	AT 233735	T	20030315	AT 1997-904354	19970130 <--
	ES 2194180	T3	20031116	ES 1997-904354	19970130 <--
	PL 187127	B1	20040531	PL 1997-328019	19970130
	NO 311214	B1	20011029	NO 1998-3505	19980730 <--
	US 6191138	B1	20010220	US 1998-117507	19980731 <--
PRAI	DE 1996-19603321	A	19960131		
	EP 1996-101791	A	19960208		
	WO 1997-EP402	W	19970130		
OS	CASREACT 127:205483; MARPAT 127:205483				
IT	194735-13-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase IV inhibitors)				
RN	194735-13-2 CAPLUS				
CN	Benzoic acid, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-, methyl ester, rel- (CA INDEX NAME)				

Relative stereochemistry.



RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT